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SYNTHESIS OF BIOLOGICAL ACTIVE AZINYLFERROCENE DERIVATIVES

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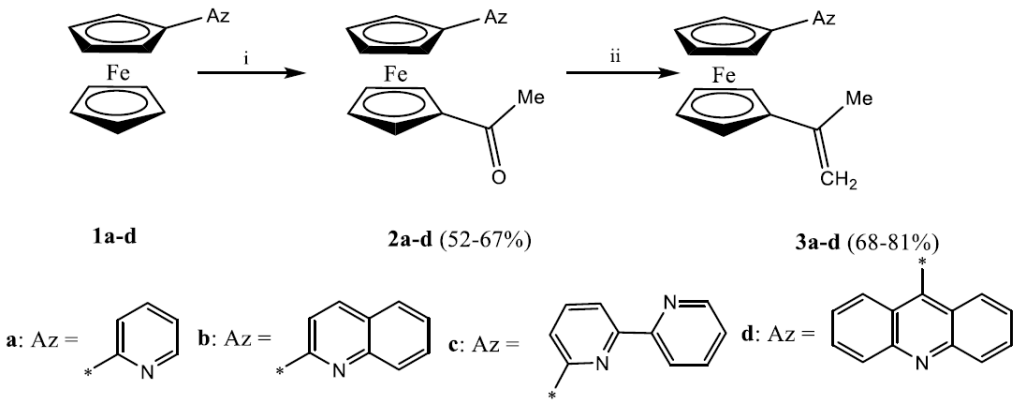
Abstract. As a rule, the presence of a lipophilic ferrocene unit in the drug structure modulates, and often enhances, their physiological activity. In this work the synthesis of vinyl-containing azinylferrocene derivatives was presented. It was found that previously obtained by direct C-H functionalization in (hetero)azinylferrocenes **1a-d** (S_NH reactions) undergo regioselective Friedel-Crafts acetylation to form 1,1'-disubstituted **2a-d** products with good yields, which are able to enter the Wittig reaction to the corresponding vinylferrocenes **3a-d**.



i: Ac_2O, $AlCl_3$, CH_2Cl_2, 0 °C; ii: $Ph_3P{=}CH_2$ (from $Ph_3PMe^+I^-$ and BuLi), Et_2O, room temperature

The obtained vinylferrocenes showed inhibitory activity and the selectivity to butyrylcholinesterase as well as high radical-binding activity, comparable to or exceeding the activity of the standard antioxidant Trolox.

References

1. Regioselective synthesis of 1-azinyl-1'-isopropenylferrocenes / A. A. Musikhina, I. A. Utepova, O. N. Chupakhin [et al.] // Mendeleev Commun. – 2020. – Vol. 30. – P. 209–210.

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